

**PATENT APPLICATION**

**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**

In re application of

TAKENOUCHI, KAZUYA, et al.

Divisional of Appln. No.: 09/830,167  
Filed April 23, 2001

Group Art Unit: Not Yet Assigned

Confirmation No.: Not Yet Assigned

Examiner: Not Yet Assigned

Filed: January 4, 2002

For: VITAMIN D3 DERIVATIVE AND TREATING AGENT FOR INFLAMMATORY  
RESPIRATORY DISEASE USING SAME

**PRELIMINARY AMENDMENT**

Commissioner for Patents  
Washington, D.C. 20231

Sir:

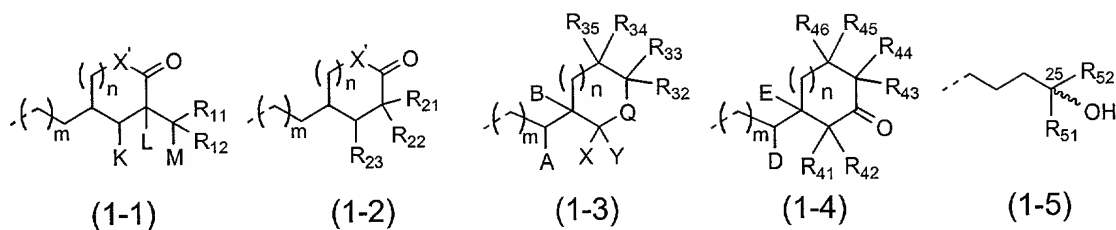
Prior to examination, please amend the above-identified application as follows:

**IN THE SPECIFICATION:**

Amend the specification by inserting before the first line the sentence:

This is a Divisional of Application No. 09/830,167 filed April 23, 2001, the disclosure of  
which is incorporated herein by reference.

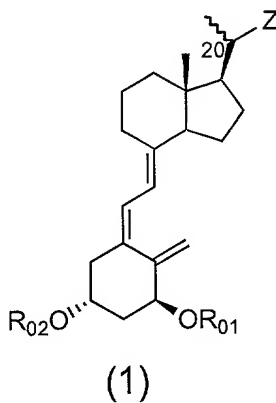
**Page 6, please delete the paragraph at lines 18-19 with formulas (1-1) to (1-5), and  
replace it with the following new paragraph:**



# IN THE CLAIMS:

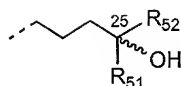
Please enter the following new claims:

45. A vitamin D<sub>3</sub> derivatives expressed by the following general formula [1] or pharmaceutically permissible solvates thereof,



{wherein, R<sub>01</sub> and R<sub>02</sub> are each independently a hydrogen atom, a trimethylsilyl group, a triethylsilyl group, a t-butyldimethylsilyl group, an acetyl group, a methoxymethyl group or a tetrahydro-4H-pyran-2-yl group;

Z is represented by formula (1-5),



(1-5)

[in the above formula (1-5),

$R_{51}$  expresses  $-\text{CONR}_{511}\text{R}_{512}$ ,  $-\text{COR}_{513}$  or  $-\text{C}(\text{OH})\text{R}_{514}\text{R}_{515}$ , wherein  $\text{R}_{511}$  and  $\text{R}_{512}$  are identical to or different from each other, and they are a hydrogen atom or a  $\text{C}_1$ - $\text{C}_4$  alkyl group, or both the members together express a nitrogen-containing  $\text{C}_3$ - $\text{C}_8$  alkyl ring or a morpholino group in cooperation with the nitrogen atom to which they are bonded; and  $\text{R}_{513}$ ,  $\text{R}_{514}$  and  $\text{R}_{515}$  are identical to or different from each other, and they express a  $\text{C}_1$ - $\text{C}_4$  alkyl group;

$\text{R}_{52}$  expresses a methyl group, an ethyl group, a trifluoromethyl group or a pentafluoroethyl group.]]

46. A vitamin  $\text{D}_3$  derivative or a pharmaceutically permissible solvate thereof described in Claim 45, wherein, in the above formula (1),  $\text{R}_{01}$  and  $\text{R}_{02}$  are both hydrogen atoms.

47. A vitamin  $\text{D}_3$  derivative or a pharmaceutically permissible solvate thereof described in Claim 45, wherein, in the above formula (1),  $\text{R}_{51}$  is  $-\text{CONR}_{511}\text{R}_{512}$  or  $-\text{COR}_{513}$ .

48. A vitamin  $\text{D}_3$  derivative or a pharmaceutically permissible solvate thereof described in Claim 45, wherein, in the above formula (1),  $\text{R}_{51}$  is  $-\text{CONR}_{511}\text{R}_{512}$ .

49. A vitamin  $\text{D}_3$  derivative or a pharmaceutically permissible solvate thereof described in Claim 45, wherein, in the above formula (1),  $\text{R}_{51}$  is  $-\text{COR}_{513}$ .

50. A vitamin  $\text{D}_3$  derivative or a pharmaceutically permissible solvate thereof described in Claim 45, wherein, in the above formula (1),  $\text{R}_{51}$  is  $-\text{CONR}_{511}\text{R}_{512}$ , and  $\text{R}_{511}$  and  $\text{R}_{512}$  are identical to or different from each other, and they are a methyl group or an ethyl group, or

are identical to or different from each other, and they are a methyl group or an ethyl group, or both the members together express an aziridine, pyrrolidine, piperidine or morpholino ring in cooperation with the nitrogen atom to which they are bonded.

51. A vitamin D<sub>3</sub> derivative or a pharmaceutically permissible solvate thereof described in Claim 45, wherein, in the above formula (1), R<sub>51</sub> is COR<sub>513</sub>, and R<sub>513</sub> is a methyl group or an ethyl group.

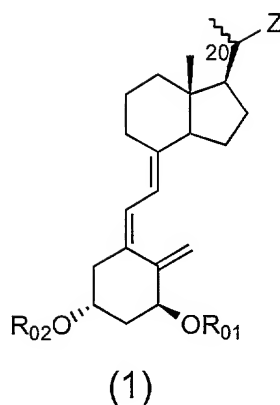
52. A vitamin D<sub>3</sub> derivative or a pharmaceutically permissible solvate thereof described in Claim 45, wherein, in the above formula (1), R<sub>52</sub> is a methyl group.

53. A pharmaceutical composition composed of a vitamin D<sub>3</sub> derivative or pharmaceutically permissible solvate thereof described in Claim 45, and a pharmaceutically permissible carrier.

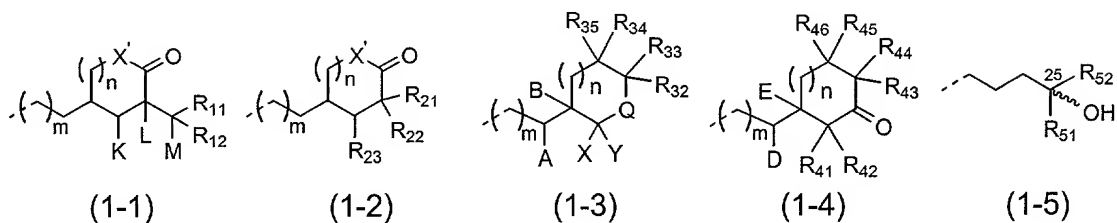
**IN THE ABSTRACT OF DISCLOSURE:**

**Please delete the present Abstract of the Disclosure and replace it with the following new Abstract of the Disclosure:**

Compounds expressed by the following general formula (1),



[wherein,  $R_{01}$  and  $R_{02}$  are each independently a hydrogen atom or a protecting group for a hydroxyl group; Z is one out of the following formula (1-5)].



The compounds can be used as active ingredients of treating agents for inflammatory respiratory diseases, malignant tumors, rheumatoid arthritis, osteoporosis, diabetes mellitus, hypertension, alopecia, acne, psoriasis, dermatitis, hypercalcemia, hypoparathyroidism and metabolic disorder of cartilage.

**REMARKS**

The specification, claim 1 and the Abstract have been amended to correct an inadvertent error in formulae (1-1) and (1-2) for Z, wherein X in the formulae has been changed to X'. The basis for the change can be seen in the subsequent disclosure of X' at, e.g., page 7, line 1, and the overall disclosure at, e.g., page 6, line 18 to page 7, line 9 in the application.

New claims 45-53 correspond to original claims 1-32 and 44 amended to meet the limitations of Group IV compounds per the Restriction Requirement issued October 4, 2001 in parent application 09/830,167.

Entry of the above amendment is respectfully requested.

Respectfully submitted,



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**APPENDIX**

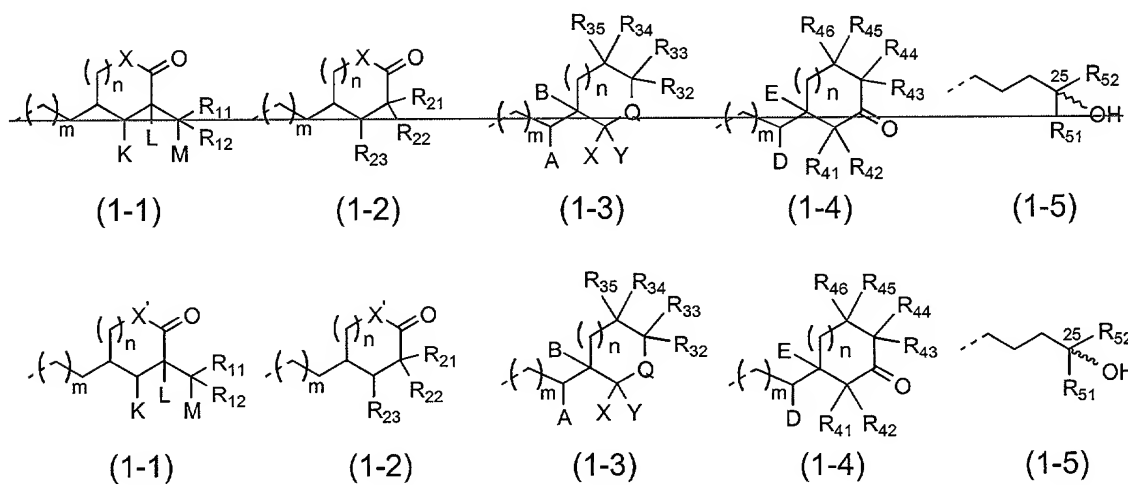
**VERSION WITH MARKINGS TO SHOW CHANGES MADE**

**IN THE SPECIFICATION:**

**The specification is changed as follows:**

This is a Divisional of Application No. 09/830,167 filed April 23, 2001, the disclosure of which is incorporated herein by reference.

**Page 6, the paragraph at lines 18-19 with formulas (1-1) to (1-5), and replace it with the following new paragraph:**



**IN THE CLAIMS:**

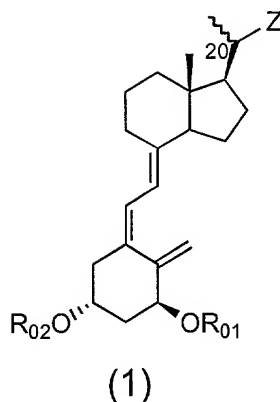
**Please cancel claims 1-44**

**New claims 45-53 are added.**

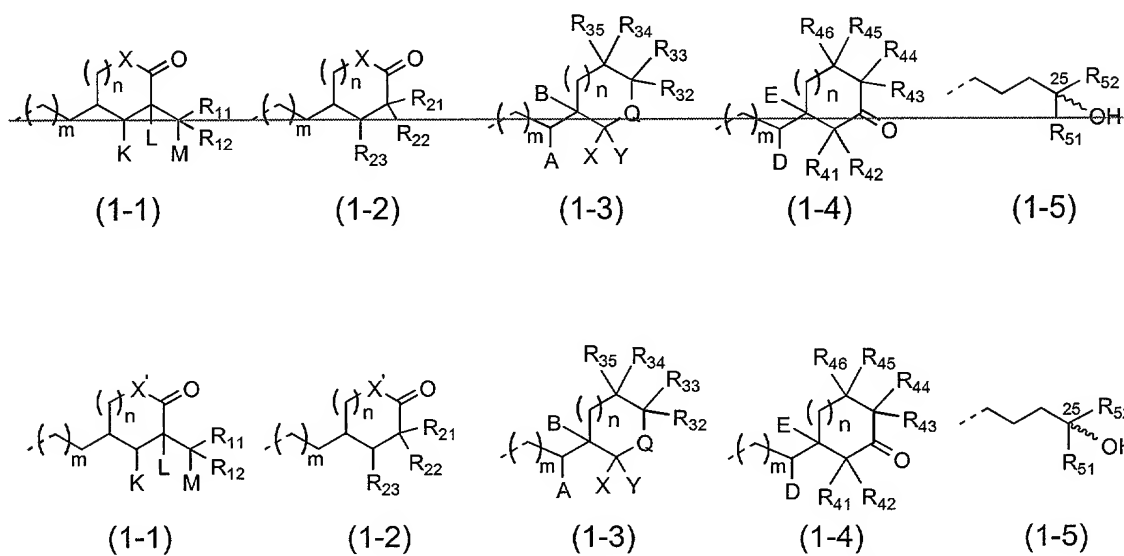
**IN THE ABSTRACT OF DISCLOSURE:**

**The abstract is changed as follows:**

Compounds expressed by the following general formula (1),



[wherein,  $R_{01}$  and  $R_{02}$  are each independently a hydrogen atom or a protecting group for a hydroxyl group; Z is one out of the following formulae (1-1) to (1-5)].





Preliminary Amendment  
Divisional of U.S. Appln No. 09/830,167

The compounds can be used as active ingredients of treating agents for inflammatory respiratory diseases, malignant tumors, rheumatoid arthritis, osteoporosis, diabetes mellitus, hypertension, alopecia, acne, psoriasis, dermatitis, hypercalcemia, hypoparathyroidism and metabolic disorder of cartilage.